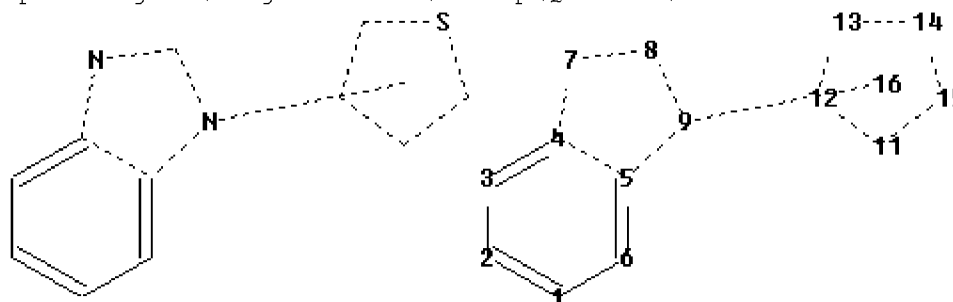


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ring nodes :

1 2 3 4 5 6 7 8 9 11 12 13 14 15

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 11-12 11-15 12-13 13-14 14-15

exact/norm bonds :

4-5 4-7 5-9 7-8 8-9 11-12 11-15 12-13 13-14 14-15

normalized bonds :

1-2 1-6 2-3 3-4 5-6

isolated ring systems :

containing 1 : 11 :

Match level :

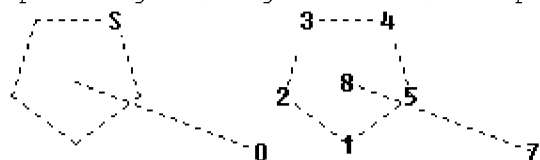
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:Atom

12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

L1 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\10522958-amended-narrow.str



chain nodes :

7

ring nodes :

1 2 3 4 5

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 7:CLASS 8:CLASS

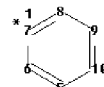
L2 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\10522958-amended-narrow-2.str



Hg * 2



12 * 2

chain nodes :
1 3 4 12 17
ring nodes :
5 6 7 8 9 10
chain bonds :
1-3 3-4 4-17
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-3 3-4 4-17
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10
isolated ring systems :
containing 5 :

G1:[*1],[*2]

Match level :

1:Atom 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 12:Atom
17:CLASS

Generic attributes :

1:

Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System : Monocyclic

12:

Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System : Monocyclic

Element Count :

Node 1: Limited

S,S1

C,C4

Node 12: Limited

N,N1

C,C4

L6 STRUCTURE UPLOADED

=> d his

FILE 'REGISTRY' ENTERED AT 12:32:26 ON 17 JUL 2008

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L4 1017 S L1 SSS FULL
L5 906 S L2 SSS FULL SUB=L4

L6 STRUCTURE UPLOADED
L7 785 S L6 SSS FULL SUB=L5

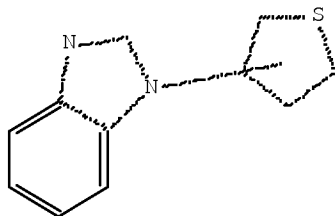
FILE 'CAPLUS' ENTERED AT 12:38:54 ON 17 JUL 2008

L8 11 S L7
L9 2 S US200!-522958/APPS
L10 1 S L8 AND L9
L11 10 S L8 NOT L9

FILE 'REGISTRY' ENTERED AT 12:39:17 ON 17 JUL 2008

=> d l1

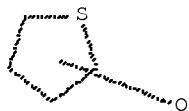
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> d l2

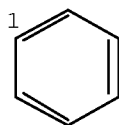
L2 HAS NO ANSWERS
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> d l6

L6 HAS NO ANSWERS
L6 STR



Hy 2

G1 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

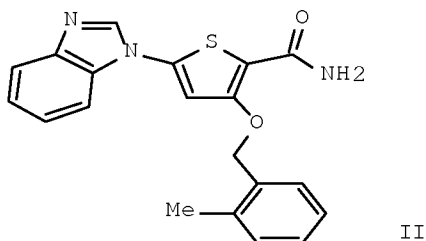
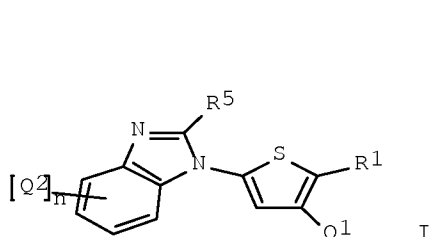
=> fil caplus

=> d 110 bib abs

√L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2004:143141 CAPLUS Full-text
 DN 140:199325
 TI Preparation of benzimidazolyl substituted thiophenes as Polo like kinases
 (PLK) inhibitors for treating cancer
 IN Andrews, Clarence W., III; Cheung, Mui; Davis-Ward, Ronda G.; Drewry,
 David Harold; Emmitte, Kyle Allen; Hubbard, Robert Dale; Kuntz, Kevin W.;
 Linn, James Andrew; Mook, Robert Anthony; Smith, Gary Keith; Veal, James
 Marvin
 PA Smithkline Beecham Corporation, USA
 SO PCT Int. Appl., 235 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014899	A1	20040219	WO 2003-US24272	20030804
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2493908	A1	20040219	CA 2003-2493908	20030804
	AU 2003265348	A1	20040225	AU 2003-265348	20030804
	AU 2003265348	B2	20070816		
	EP 1546137	A1	20050629	EP 2003-784888	20030804
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	BR 2003013160	A	20050712	BR 2003-13160	20030804
	CN 1688576	A	20051026	CN 2003-823755	20030804
	JP 2006505522	T	20060216	JP 2004-527723	20030804

NZ	538134	A	20060331	NZ	2003-538134	20030804
RU	2296758	C2	20070410	RU	2005-102390	20030804
ZA	2005000864	A	20060426	ZA	2005-864	20050128
NO	2005000525	A	20050506	NO	2005-525	20050131
US	20060074119	A1	20060406	US	2005-522958	20050131 <--
MX	2005PA01544	A	20050419	MX	2005-PA1544	20050208
IN	2005KN00321	A	20060106	IN	2005-KN321	20050302
PRAI	US 2002-402008P	P	20020808			
WO	2003-US24272	W	20030804			
OS	MARPAT 140:199325					
GI						



AB The title compds. [I; R1 = H, alkyl, COR7, CO2R7, etc.; Q1 = OCH2Ph, NHCH2Ph (both substituted on Ph ring), etc.; n = 0-4; Q2 = OMe, Cl, Br, etc.; R5 = H, halo, alkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.], useful for treating a condition mediated by PLK, were prepared E.g., a multi-step synthesis of II which showed pIC50 of > 7 in assay for inhibition of PLK1, was given. The pharmaceutical composition comprising the title compds. I is claimed.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l11 tot bib abs hitstr

✓_{L11} ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:705811 CAPLUS Full-text

DN 149:53992

TI Benzimidazolylthiophene derivatives as PLK inhibitors and their preparation, pharmaceutical compositions and use in the treatment of cancer

IN Rheault, Tara Renae; Cheung, Mui; Badiang, Jennifer G. Stanford; Donaldson, Kelly Horne

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 124pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	✓ APPLICATION NO.	DATE
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PI	WO 2008070354	A2	20080612	WO 2007-US82951	20071030
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	CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,				
	GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,				

KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
 MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
 PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2006-863662P P $\sqrt{20061031}$
 GI

$\sqrt{L11}$ ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1420678 CAPLUS Full-text

DN 148:55071

TI Preparation of benzimidazolylthiophene benzyl ether compounds as PLK1 inhibitors

IN Kuntz, Kevin Wayne; Emerson, Holly Kathleen; Cheung, Mui; Badiang, Jennifer Gabriel

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 113pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	$\sqrt{}$ APPLICATION NO.	DATE
PI	WO 2007143506	A2	20071213	WO 2007-US70108	20070531
	WO 2007143506	A3	20080306		
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRAI US 2006-810315P P $\sqrt{20060602}$

$\sqrt{L11}$ ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1420591 CAPLUS Full-text

DN 148:55070

TI Benzimidazole thiophene compounds and their preparation, pharmaceutical compositions and use in the treatment of diseases

IN Kuntz, Kevin; Emmittle, Kyle Allen; Rheault, Tara Renae; Smith, Stephon; Hornberger, Keith; Dickson, Hamilton; Cheung, Mui

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 303pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	√APPLICATION NO.	DATE
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PI	WO 2007143456	A2	20071213	WO 2007-US69879	20070529
	WO 2007143456	A3	20080214		
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRAI US	2006-810526P	P	√20060602		

√L11 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:819365 CAPLUS Full-text

DN 147:359215

TI Pharmacological and Functional Comparison of the Polo-like Kinase Family: Insight into Inhibitor and Substrate Specificity

AU Johnson, Eric F.; Stewart, Kent D.; Woods, Keith W.; Giranda, Vincent L.; Luo, Yan

CS Cancer Research, Abbott Laboratories, Abbott Park, IL, 60064, USA

SO Biochemistry √ (2007), 46(33), 9551-9563

CODEN: BICHAW; ISSN: 0006-2960

PB American Chemical Society

DT Journal

LA English

AB PLK1 (polo-like kinase 1) is a key mitotic kinase and a therapeutic target in the treatment of proliferative diseases. Here we investigate the relative substrate specificity and pharmacol. relatedness of PLK1, -2, -3, and -4 that together comprise a conserved family of Ser/Thr kinases (PLK family). We report consensus substrate sequences for PLK2, -3, and -4 and an expanded consensus sequence for PLK1, which we use to design an optimal peptide substrate, PLKtide. We report inhibitory activity for the entire PLK family across a diverse set of small-mol. ATP-competitive inhibitors including several clin. compds. With respect to both substrate and ATP-site specificity, highest similarity is observed between PLK2 and PLK3, PLK1 is next most similar, and PLK4 is least similar. Further, we have identified and report time-dependent inhibition by two potent and selective PLK inhibitors.

√L11 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:284227 CAPLUS Full-text

DN 146:337892

TI Regioselective process for preparing benzimidazole thiophenes

IN Hornberger, Keith; Cheung, Mui; Pobanz, Mark Andrew; Emmitte, Kyle Allen;

Kuntz, Kevin Wayne; Badiang, Jennifer Gabriel
 PA Smithkline Beecham Corporation, USA
 SO PCT Int. Appl., 311pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	√ APPLICATION NO.	DATE
PI	WO 2007030366	A1	20070315	WO 2006-US33793	20060828
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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	AU 2006287771	A1	20070315	AU 2006-287771	20060828
	CA 2621073	A1	20070315	CA 2006-2621073	20060828
	EP 1924572	A1	20080528	EP 2006-813938	20060828
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
	MX 200803172	A	20080318	MX 2008-3172	20080306
	KR 2008045266	A	20080522	KR 2008-708167	20080404
PRAI	US 2005-714301P	P	√20050906		
	WO 2006-US33793	W	20060828		

√L11 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:283577 CAPLUS Full-text
 DN 146:337898
 TI Preparation of benzimidazolyl thiophene derivatives as PLK modulators
 IN Cheung, Mui; Badiang, Jennifer Gabriel; Donaldson, Kelly Horne; Rheault, Tara Renae
 PA Smithkline Beecham Corporation, USA
 SO PCT Int. Appl., 80pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	√ APPLICATION NO.	DATE
PI	WO 2007030359	A1	20070315	WO 2006-US33616	20060828
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IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 EP 1922316 A1 20080521 EP 2006-790054 20060828
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR
 PRAI US 2005-714303P P $\sqrt{20050906}$
 WO 2006-US33616 W 20060828

L11 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:282094 CAPLUS Full-text

DN 146:337890

TI Preparation of thiophenyl benzimidazole derivatives for treatment of
 conditions mediated by polo-like kinases

IN Cheung, Mui; Emmitte, Kyle Allen; Salovich, James Michael

PA Smithkline Beecham Corp., USA

SO PCT Int. Appl., 107pp.

CODEN: PIXXD2

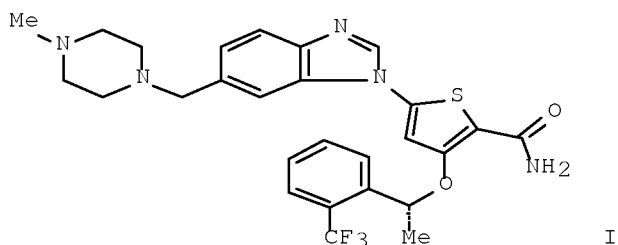
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007030361	A2	20070315	WO 2006-US33683	20060828
	WO 2007030361	A3	20070531		
	W:				
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	CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
	GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,				
	KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,				
	MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS,				
	RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW:				
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	IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,				
	CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,				
	GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	AU 2006287766	A1	20070315	AU 2006-287766	20060828
	CA 2621879	A1	20070315	CA 2006-2621879	20060828
	US 20070270437	A1	20071122	US 2006-467577	20060828
	EP 1937671	A2	20080702	EP 2006-813896	20060828
	R:				
	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
	IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
	MX 200803173	A	20080318	MX 2008-3173	20080306
	KR 2008047585	A	20080529	KR 2008-707794	20080331
PRAI	US 2005-714337P	P	$\sqrt{20050906}$		
	US 2006-786244P	P	20060327		
	WO 2006-US33683	W	20060828		

GI



AB Eight thiophenyl benzimidazole derivs. and pharmaceutically acceptable salts and solvates thereof were prepared for the treatment of conditions mediated by polo-like kinases (PLK). For example, N-methylpiperazine was treated with Me 5-[6-(chloromethyl)-1H-benzimidazol-1-yl]-3-[[(1R)-1-[2-(trifluoromethyl)phenyl]ethyl]oxy]thiophene-2-carboxylate (preparation given) at 60 °C for 18 h in dioxane to give an intermediate which was further reacted with ammonia in MeOH at 80 °C for 40 h in a sealed flask to give I as a final product. I showed superior enzyme and cell potency in PLK1 enzyme assay and methylene blue cell proliferation assay in multiple cell lines. I also had superior protein binding in human serum by equilibrium dialysis assay. On the other hand, I showed good solubility in 0.05 M pH 7.4 phosphate buffer.

√
 L11 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:181791 CAPLUS Full-text

DN 146:414432

TI In vitro biological activity of a novel small-molecule inhibitor of polo-like kinase 1

AU Lansing, Timothy J.; McConnell, Randy T.; Duckett, Derek R.; Spehar, Glenn M.; Knick, Victoria B.; Hassler, Daniel F.; Noro, Nobuhiro; Furuta, Masaaki; Emmitte, Kyle A.; Gilmer, Tona M.; Mook, Robert A., Jr.; Cheung, Mui

CS Oncology Biology, GlaxoSmithKline, Research Triangle Park, NC, USA

SO Molecular Cancer Therapeutics √ (2007), 6(2), 450-459

CODEN: MCTOCF; ISSN: 1535-7163

PB American Association for Cancer Research

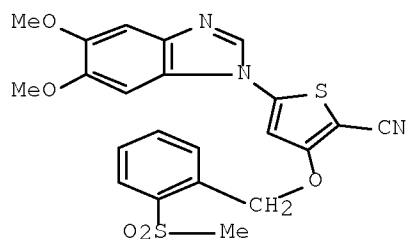
DT Journal

LA English

AB Polo-like kinase 1 (PLK1) plays key roles in the regulation of mitotic progression, including mitotic entry, spindle formation, chromosome segregation, and cytokinesis. PLK1 expression and activity are strongly linked to proliferating cells. Many studies have shown that PLK1 expression is elevated in a variety of tumors, and high expression often correlates with poor prognosis. Using a variety of methods, including small-mol. inhibition of PLK1 function and/or activity, apoptosis in cancer cell lines, cell cycle arrest in normal cell lines, and antitumor activity in vivo have been observed. In the present study, the authors have examined the in vitro biol. activity of a novel and selective thiophene benzimidazole ATP-competitive inhibitor of PLK1 and PLK3 (5-(5,6-dimethoxy-1H-benzimidazol-1-yl)-3-([2-(trifluoromethyl)-benzyl]oxy)thiophene-2-carboxamide, called compound 1). Compound 1 has low nanomolar activity against the PLK1 and PLK3 enzymes and potently inhibits the proliferation of a wide variety of tumor cell lines. In the lung adenocarcinoma cell line NCI-H460, compound 1 induces a transient G2-M arrest,

mitotic spindle defects, and a multinucleate phenotype resulting in apoptosis, whereas normal human diploid fibroblasts arrest in G2-M and show little apoptosis. The authors also describe a cellular mechanistic assay that was developed to identify potent intracellular inhibitors of PLK1. In addition to its potential as a therapeutic agent for treating cancer, compound 1 is also a useful tool mol. for further investigation of the biol. functions of PLK1 and PLK3.

✓L11 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:1190032 CAPLUS Full-text
 DN 146:54723
 TI 5-(1H-Benzimidazol-1-yl)-3-alkoxy-2-thiophenecarbonitriles as potent, selective, inhibitors of IKK-ε kinase
 AU Bamborough, Paul; Christopher, John A.; Cutler, Geoffrey J.; Dickson, Marion C.; Mellor, Geoffrey W.; Morey, James V.; Patel, Champa B.; Shewchuk, Lisa M.
 CS Medicines Research Centre, GlaxoSmithKline R & D, Hertfordshire, SG1 2NY, UK
 SO Bioorganic & Medicinal Chemistry Letters ✓ (2006), 16(24), 6236-6240
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Ltd.
 DT Journal
 LA English
 OS CASREACT 146:54723
 GI



I

AB The identification and hit-to-lead exploration of a novel, potent and selective series of substituted benzimidazole-thiophene carbonitrile inhibitors of IKK-ε kinase is described. Compound 12e (I) was identified with an IKK-ε enzyme potency of pIC₅₀ 7.4, and has a highly encouraging wider selectivity profile, including selectivity within the IKK kinase family.

L11 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:823697 CAPLUS Full-text
 DN 143:229853
 TI Preparation of benzimidazolyl substituted thiophene derivatives with activity against IKK3

IN Bamborough, Paul; Morey, James Vaughan
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005075465	A1	20050818	WO 2005-EP1432	20050207
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	EP 1720864	A1	20061115	EP 2005-707356	20050207
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
	JP 2007522142	T	20070809	JP 2006-551827	20050207
	US 20070149519	A1	20070628	US 2006-597828	20060809
PRAI	GB 2004-2809	A	20040209		
	WO 2005-EP1432	W	20050207		

SESSION WILL BE HELD FOR 120 MINUTES
 STN INTERNATIONAL SESSION SUSPENDED AT 12:40:19 ON 17 JUL 2008